

We claim:

1. A process for the preparation of Moxifloxacin hydrochloride monohydrate comprising steps
  - Treating (4aS-Cis)-1-cyclopropyl-7-(2,8-diazabicyclo[4.3.0] non-8-yl)-6-fluoro-8-methoxy-4-oxo-1,4-dihydro-3-quinoline carboxylic acid (-O<sup>3</sup>,O<sup>4</sup>)bis(acyloxy-O) borate with hydrochloric acid in a solvent
  - Isolating and drying the Moxifloxacin hydrochloride pseudohydrate
  - Treating the Moxifloxacin hydrochloride pseudohydrate with hydrochloric acid in ethanol to get Moxifloxacin hydrochloride monohydrate
2. A process as claimed in claim-1, wherein (4aS-Cis)-1-Cyclopropyl-7-(2,8-diazabicyclo[4.3.0]non-8-yl)-6-fluoro-8-methoxy-4-oxo-1,4-dihydro-3-quinoline carboxylic acid-O<sup>3</sup>,O<sup>4</sup>)bis (acyloxy-O)borate may be either isolated or insitu solution
3. A process as claimed in claim-1, wherein hydrochloric acid is gaseous or aqueous or dissolved in a solvent
4. A process as claimed in claim-1, wherein the solvent used is a short chain alcohol
5. A process as claimed in claim-3, wherein the short chain alcohol is preferably methanol, ethanol and isopropanol
6. Crystalline Moxifloxacin hydrochloride pseudohydrate
7. Moxifloxacin hydrochloride pseudohydrate as claimed in claim-6, which is characterized by an infrared absorption comprising bands at 3669, 3357, 2950, 2894, 2548, 1730, 1708, 1623, 1515, 1456, 1373, 1354, 1326, 1183, 1046, 1028, 938, 875, 835, 804 and 722 cm<sup>-1</sup>

8. Moxifloxacin hydrochloride pseudohydrate as claimed in claim-6, which is characterized by a powder X-ray diffraction pattern comprising peaks at about 5.8, 7.2, 8.6, 10.4, 12.4, 13.3, 14.6, 14.9, 15.2, 16.7, 17.3, 17.9, 18.7, 19.8, 21.7, 22.4, 24.7, 25.2, 25.8, 26.6, 27.0, 27.4, 27.9, 28.4, 29.0, 30.0, 31.6, 32.3, 35.0, 37.6, 39.1, 41.3, 41.9 and 43.9  $\pm$  0.2 degrees two-theta.

9. Crystalline (4aS-Cis)-1-cyclopropyl-7-(2,8-diazabicyclo[4.3.0]non-8-yl)-6-fluoro-8-methoxy-4-oxo-1,4-dihydro-3-quinoline carboxylic acid-O<sup>3</sup>,O<sup>4</sup>)bis (acyloxy-O)borate

10. As claimed in claim-8 the novel intermediate which is characterized by an infrared absorption comprising bands at 3415, 3332, 2936, 1718, 1630, 1573, 1526, 1445, 1273, 1042, 935, 860, 798, 682 cm<sup>-1</sup>

11. A process for the preparation of a novel intermediate (4aS-Cis)-1-Cyclopropyl-7-(2,8-diazabicyclo[4.3.0]non-8-yl)-6-fluoro-8-methoxy-4-oxo-1,4-dihydro-3-quinoline carboxylic acid-O<sup>3</sup>,O<sup>4</sup>)bis (acyloxy-O)borate comprising:

- Reacting ethyl 1-cyclopropyl-6,7-difluoro-8-methoxy-4-oxo-1,4-dihydro-3-quinoline carboxylate with a mixture of boric acid and acetic anhydride at temperature above 50°C without the use of catalyst
- Precipitating (1-Cyclopropyl-6,7-difluoro-8-methoxy-4-oxo-1,4-dihydro-3-quinoline carboxylic acid-O<sup>3</sup>,O<sup>4</sup>)bis (acyloxy-O)borate by cooling to low temperature followed by diluting with water
- Isolating and drying the (1-cyclopropyl-6,7-difluoro-8-methoxy-4-oxo-1,4-dihydro-3-quinoline carboxylic acid-O<sup>3</sup>,O<sup>4</sup>) bis (acyloxy-O)borate
- Condensing (1-Cyclopropyl-6,7-difluoro-8-methoxy-4-oxo-1,4-dihydro-3-quinoline carboxylic acid-O<sup>3</sup>,O<sup>4</sup>)bis (acyloxy-O)borate with (S,S)-2,8-Diazabicyclo[4.3.0]nonane in presence of base(s) in organic polar solvent(s)

- Crystallizing (4aS-Cis)-1-cyclopropyl-7-(2,8-diazabicyclo [4.3.0]non-8-yl)-6-fluoro-8-methoxy-4-oxo-1,4-dihydro-3-quinoline carboxylic acid-O<sup>3</sup>,O<sup>4</sup>)bis (acyloxy-O)borate
- Isolating and drying of (4aS-Cis)-1-cyclopropyl-7-(2,8-diazabicyclo [4.3.0]non-8-yl)-6-fluoro-8-methoxy-4-oxo-1,4-dihydro-3-quinoline carboxylic acid-O<sup>3</sup>,O<sup>4</sup>) bis (acyloxy-O)borate

12. The process as claimed in claim 11, wherein the temperature for the reaction of ethyl 1-cyclopropyl-6,7-difluoro-8-methoxy-4-oxo-1,4-dihydro-3-quinoline carboxylate with the mixture of boric acid and acetic anhydride is in the range of about 90°C to about 120°C.
13. The process as claimed in claim 11, wherein the organic polar solvents is selected from acetonitrile or DMSO or DMF.
14. The process as claimed in claims 11, wherein the base(s) used is organic or inorganic base
15. The process as claimed in claims 11 & 14 wherein the organic base is selected from triethylamine or diisopropyl ethylamine or DBU
16. The process as claimed in claims 11 & 14 wherein the inorganic base is potassium carbonate
17. The process as claimed in claim 11, wherein the temperature for the condensation reaction is in the range of about 30°C to about 100°C, preferably from about 60°C to about 80°C
18. The process as claimed in claim 11, wherein the crystallization of (4aS-Cis)-1-Cyclopropyl-7-(2,8-diazabicyclo [4.3.0]non-8-yl)-6-fluoro-8-methoxy-4-oxo-1,4-dihydro-3-quinoline carboxylic acid-O<sup>3</sup>,O<sup>4</sup>)bis (acyloxy-O)borate is carried out by removal of solvent and adding a second solvent

19. The process as claimed in claims 11 & 18 wherein the second solvent is selected from hydrocarbons of C-5 to C-7
20. The process as claimed in claims 11, 18 & 19 wherein the hydrocarbon is alkyl, cycloalkyl or mixtures thereof
21. The process as claimed in claims 11, 18, 19 & 20 wherein the hydrocarbon is n-hexane, n-heptane, cyclohexane, methyl cyclohexane or mixtures thereof.

## AMENDED CLAIMS

[received by the International Bureau on 20 December 2004 (20.12.04),  
original claims 1 to 21 replaced by new claims 1 to 19 (3 pages)]

1. A process for the preparation of Moxifloxacin hydrochloride monohydrate comprising steps

- Treating (4aS-Cis)-1-cyclopropyl-7-(2,8-diazabicyclo[4.3.0] non-8-yl)-6-fluoro-8-methoxy-4-oxo-1,4-dihydro-3-quinoline carboxylic acid (-O<sup>3</sup>,O<sup>4</sup>)bis(acyloxy-O) borate with hydrochloric acid in a solvent
- Isolating and drying the Moxifloxacin hydrochloride
- Treating the Moxifloxacin hydrochloride with hydrochloric acid in ethanol to get Moxifloxacin hydrochloride monohydrate

2. A process as claimed in claim-1, wherein hydrochloric acid is gaseous or aqueous or dissolved in a solvent

3. A process as claimed in claim-1, wherein the solvent used is a short chain alkanol

4. A process as claimed in claim-3, wherein the short chain alkanol is preferably methanol, ethanol and isopropanol

5 Moxifloxacin hydrochloride which is characterized by an infrared absorption comprising bands at 3669, 3357, 2950, 2894, 2548, 1730, 1708, 1623, 1515, 1456, 1373, 1354, 1326, 1183, 1046, 1028, 938, 875, 835, 804 and 722 cm<sup>-1</sup>

6. Moxifloxacin hydrochloride which is characterized by a powder X-ray diffraction pattern comprising peaks at about 5.8, 7.2, 8.6, 10.4, 12.4, 13.3, 14.6, 14.9, 15.2, 16.7, 17.3, 17.9, 18.7, 19.8, 21.7, 22.4, 24.7, 25.2, 25.8, 26.6, 27.0, 27.4, 27.9, 28.4, 29.0, 30.0, 31.6, 32.3, 35.0, 37.6, 39.1, 41.3, 41.9 and 43.9 ± 0.2 degrees two-theta.

7. Crystalline (4aS-Cis)-1-cyclopropyl-7-(2,8-diazabicyclo[4.3.0] non-8-yl)-6-fluoro-8-methoxy-4-oxo-1,4-dihydro-3-quinoline carboxylic acid-O<sup>3</sup>,O<sup>4</sup>)bis (acyloxy-O)borate

8. As claimed in claim-8 the novel intermediate which is characterized by an infrared absorption comprising bands at 3415, 3332, 2936, 1718, 1630, 1573, 1526, 1445, 1273, 1042, 935, 860, 798, 682  $\text{cm}^{-1}$

9. A process for the preparation of a novel intermediate (4aS-Cis)-1-Cyclopropyl-7-(2,8-diazabicyclo[4.3.0]non-8-yl)-6-fluoro-8-methoxy-4-oxo-1,4-dihydro-3-quinoline carboxylic acid- $O^3, O^4$ )bis (acyloxy-O)borate comprising:

- Reacting ethyl 1-cyclopropyl-6,7-difluoro-8-methoxy-4-oxo-1,4-dihydro-3-quinoline carboxylate with a mixture of boric acid and acetic anhydride at temperature above 50°C without the use of catalyst
- Precipitating (1-Cyclopropyl-6,7-difluoro-8-methoxy-4-oxo-1,4-dihydro-3-quinoline carboxylic acid- $O^3, O^4$ )bis (acyloxy-O)borate by cooling to low temperature followed by diluting with water
- Isolating and drying the (1-cyclopropyl-6,7-difluoro-8-methoxy-4-oxo-1,4-dihydro-3-quinoline carboxylic acid- $O^3, O^4$ ) bis (acyloxy-O)borate
- Condensing (1-Cyclopropyl-6,7-difluoro-8-methoxy-4-oxo-1,4-dihydro-3-quinoline carboxylic acid- $O^3, O^4$ )bis (acyloxy-O)borate with (S,S)-2,8-Diazabicyclo[4.3.0]nonane in presence of base(s) in organic polar solvent(s)
- Crystallizing (4aS-Cis)-1-cyclopropyl-7-(2,8-diazabicyclo[4.3.0]non-8-yl)-6-fluoro-8-methoxy-4-oxo-1,4-dihydro-3-quinoline carboxylic acid- $O^3, O^4$ )bis (acyloxy-O)borate
- Isolating and drying of (4aS-Cis)-1-cyclopropyl-7-(2,8-diazabicyclo[4.3.0]non-8-yl)-6-fluoro-8-methoxy-4-oxo-1,4-dihydro-3-quinoline carboxylic acid- $O^3, O^4$ ) bis (acyloxy-O)borate

10. The process as claimed in claim 9, wherein the temperature for the reaction of ethyl 1-cyclopropyl-6,7-difluoro-8-methoxy-4-oxo-1,4-dihydro-3-quinoline carboxylate with the mixture of boric acid and acetic anhydride is in the range of 90°C to 120°C.

11. The process as claimed in claim 9, wherein the organic polar solvents is selected from acetonitrile or DMSO or DMF.
12. The process as claimed in claims 9, wherein the base(s) used is organic or inorganic base
13. The process as claimed in claims 12, wherein the organic base is selected from triethylamine or diisopropyl ethylamine or DBU
14. The process as claimed in claims 12, wherein the inorganic base is potassium carbonate
15. The process as claimed in claim 9, wherein the temperature for the condensation reaction is in the range of 30°C to 100°C, preferably from 60°C to 80°C
16. The process as claimed in claim 9, wherein the crystallization of (4aS-Cis)-1-Cyclopropyl-7-(2,8-diazabicyclo [4.3.0]non-8-yl)-6-fluoro-8-methoxy-4-oxo-1,4-dihydro-3-quinoline carboxylic acid- $O^3, O^4$  bis (acyloxy-O)borate is carried out by removal of solvent and adding a second solvent
17. The process as claimed in claims 16, wherein the second solvent is selected from hydrocarbons of C-5 to C-7
18. The process as claimed in claims 17, wherein the hydrocarbon is alkanes, cycloalkanes or mixtures thereof
19. The process as claimed in claims 17, wherein the hydrocarbon is n-hexane, n-heptane, cyclohexane, methyl cyclohexane or mixtures thereof.